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09/ 806,836

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NEWS	1		Web Page URLs for STN Seminar Schedule - N. America
NEWS	2		"Ask CAS" for self-help around the clock
NEWS	3	SEP 09	CA/CAplus records now contain indexing from 1907 to the present
NEWS	4	Jul 15	Data from 1960-1976 added to RDISCLOSURE
NEWS	5	Jul 21	Identification of STN records implemented
NEWS	6	Jul 21	Polymer class term count added to REGISTRY
NEWS	7	Jul 22	INPADOC: Basic index (/BI) enhanced; Simultaneous Left and Right Truncation available
NEWS	8	AUG 05	New pricing for EUROPATFULL and PCTFULL effective August 1, 2003
NEWS	9	AUG 13	Field Availability (/FA) field enhanced in BEILSTEIN
NEWS	10	AUG 15	PATDPAFULL: one FREE connect hour, per account, in September 2003
NEWS	11	AUG 15	PCTGEN: one FREE connect hour, per account, in September 2003
NEWS	12	AUG 15	RDISCLOSURE: one FREE connect hour, per account, in September 2003
NEWS	13	AUG 15	TEMA: one FREE connect hour, per account, in September 2003
NEWS	14	AUG 18	Data available for download as a PDF in RDISCLOSURE
NEWS	15	AUG 18	Simultaneous left and right truncation added to PASCAL
NEWS	16	AUG 18	FROSTI and KOSMET enhanced with Simultaneous Left and Righ Truncation
NEWS	17	AUG 18	Simultaneous left and right truncation added to ANABSTR
NEWS	18	SEP 22	DIPPR file reloaded
NEWS	19	SEP 25	INPADOC: Legal Status data to be reloaded
NEWS	20	SEP 29	DISSABS now available on STN

NEWS EXPRESS	OCTOBER 01 CURRENT WINDOWS VERSION IS V6.01a, CURRENT MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP), AND CURRENT DISCOVER FILE IS DATED 23 SEPTEMBER 2003
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS INTER	General Internet Information
NEWS LOGIN	Welcome Banner and News Items
NEWS PHONE	Direct Dial and Telecommunication Network Access to STN
NEWS WWW	CAS World Wide Web Site (general information)

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* * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 11:13:23 ON 10 OCT 2003

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=> file reg
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.21       0.21

FILE 'REGISTRY' ENTERED AT 11:13:43 ON 10 OCT 2003
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STRUCTURE FILE UPDATES:     8 OCT 2003  HIGHEST RN 601453-92-3
DICTIONARY FILE UPDATES:    8 OCT 2003  HIGHEST RN 601453-92-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

  Please note that search-term pricing does apply when
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Crossover limits have been increased.  See HELP CROSSOVER for details.

Experimental and calculated property data are now available.  See HELP
PROPERTIES for more information.  See STNote 27, Searching Properties
in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
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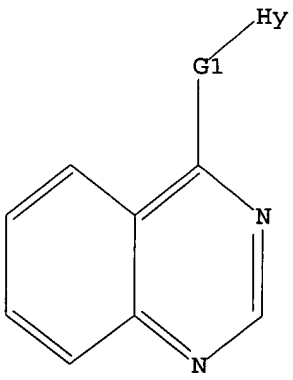


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G1 O,S


Structure attributes must be viewed using STN Express query preparation.


=> s l1 ful
FULL SEARCH INITIATED 11:14:01 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -  21250 TO ITERATE

100.0% PROCESSED   21250 ITERATIONS                    78 ANSWERS
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09/ 806,836

SEARCH TIME: 00.00.01

L2 78 SEA SSS FUL L1

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FULL ESTIMATED COST

FILE 'CAPLUS' ENTERED AT 11:14:08 ON 10 OCT 2003
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FILE COVERS 1907 - 10 Oct 2003 VOL 139 ISS 16
FILE LAST UPDATED: 9 Oct 2003 (20031009/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l2
L3 17 L2

=> d l3 1- ibib abs hitstr
YOU HAVE REQUESTED DATA FROM 17 ANSWERS - CONTINUE? Y/(N):y

L3 ANSWER 1 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2002:276519 CAPLUS
DOCUMENT NUMBER: 136:310188
TITLE: Treatment of cancer with a prostate specific antigen (PSA) conjugate and an NSAID compound
INVENTOR(S): Heimbrook, David C.; Yao, Siu-long
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 129 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

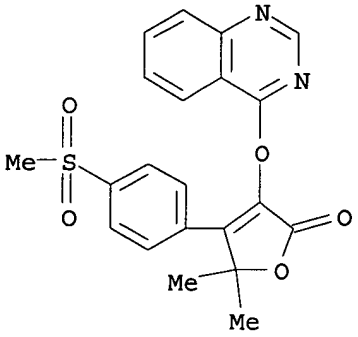
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002042375	A1	20020411	US 2001-896245	20010629

PRIORITY APPLN. INFO.: US 2000-216217P P 20000705
OTHER SOURCE(S): MARPAT 136:310188
AB The invention relates to methods of treating cancer using a combination of a compd. which is a PSA conjugate and a nonsteroidal antiinflammatory agent (NSAID) and to methods of prepg. such compns. The PSA conjugate comprises an oligopeptide that is selectively cleaved by PSA and a cytotoxic agent. An example of a PSA conjugate is N-Ac-(4-trans-L-Hyp)-Ala-Ser-Chg-Gln-Ser-Leu-Dox (Dox = doxorubicin, Hyp = hydroxyproline, Chg

= cyclohexylglycine) and COX-2 inhibitor 3-phenyl-4-[4-(4-methylsulfonyl)phenyl]-2(5H)furanone is an example of an NSAID compd. (syntheses given).

IT **189955-00-8P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(treatment of cancer with prostate specific antigen (PSA) conjugate and NSAID compd.)

RN 189955-00-8 CAPLUS
CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinyloxy)- (9CI) (CA INDEX NAME)

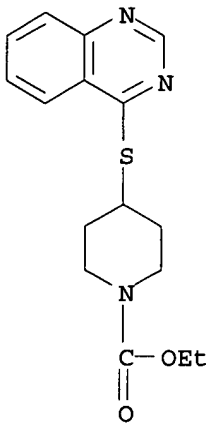


L3 ANSWER 2 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:860680 CAPLUS
DOCUMENT NUMBER: 134:157196
TITLE: Synthesis and analgesic activity of some quinazoline analogs of anpirtoline
AUTHOR(S): Radl, Stanislav; Hezky, Petr; Proska, Jan; Krejci, Ivan
CORPORATE SOURCE: Research Institute of Pharmacy and Biochemistry, Prague, 13060, Czech Rep.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (2000), 333(11), 381-386
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 134:157196

AB New condensed derivs. of anpirtoline, in which the pyridine ring is replaced with quinoline, quinazoline, 7-chloroquinoline, and 7-chloroquinazoline nuclei, have been synthesized. Their receptor binding profiles (5-HT1A, 5-HT1B) and analgesic activity (hot plate, acetic acid induced writhing) have been studied. The analgesic activity of some of the compds. are comparable to that of clin. used drugs flupirtine and tramadol under the same conditions.

IT **232618-27-8P 232618-31-4P 232618-36-9P**
325145-97-9P 325145-98-0P 325145-99-1P
325146-00-7P 325146-01-8P 325146-02-9P
325146-03-0P 325146-04-1P 325146-05-2P
325146-06-3P 325146-07-4P 325146-08-5P
325146-09-6P 325146-10-9P 325146-11-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(synthesis and analgesic activity of quinazoline analogs of anpirtoline)

RN 232618-27-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, ethyl ester (9CI) (CA INDEX NAME)



RN 232618-31-4 CAPLUS
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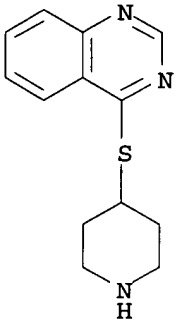
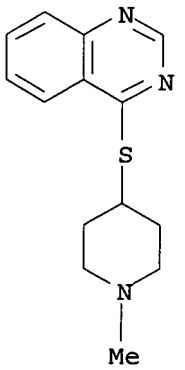
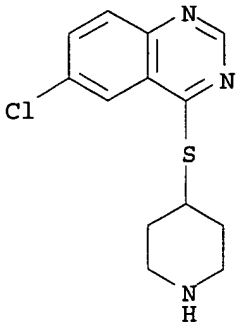


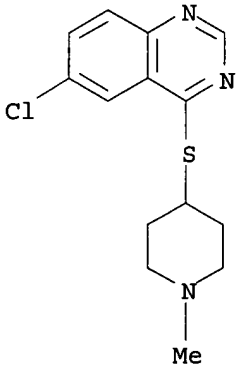
RN 232618-36-9 CAPLUS
CN Quinazoline, 4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



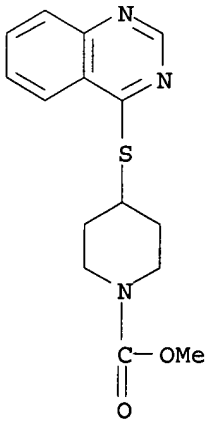
RN 325145-97-9 CAPLUS
CN Quinazoline, 6-chloro-4-(4-piperidinylthio)- (9CI) (CA INDEX NAME)



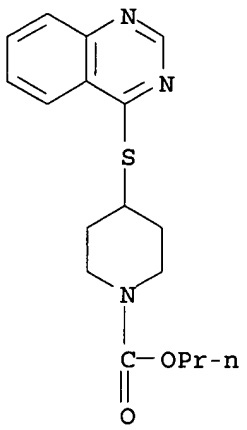
RN 325145-98-0 CAPLUS
CN Quinazoline, 6-chloro-4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



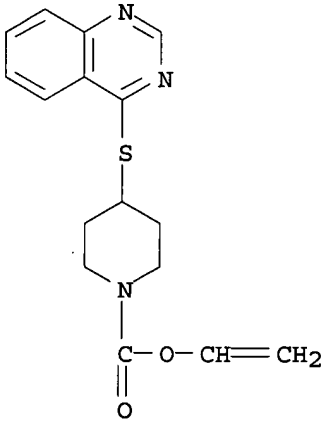
RN 325145-99-1 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, methyl ester (9CI) (CA INDEX NAME)



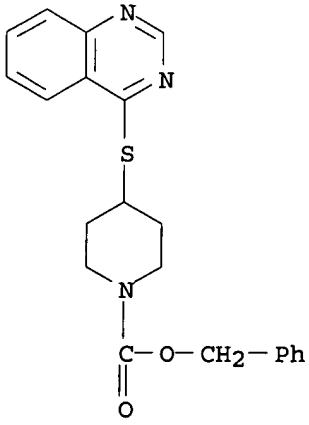
RN 325146-00-7 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, propyl ester (9CI) (CA INDEX NAME)



RN 325146-01-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, ethenyl ester (9CI) (CA INDEX NAME)



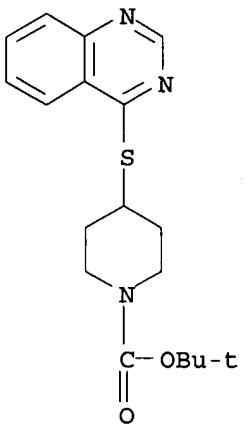
RN 325146-02-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, phenylmethyl ester (9CI) (CA INDEX NAME)



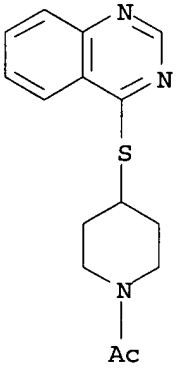
RN 325146-03-0 CAPLUS

09/ 806,836

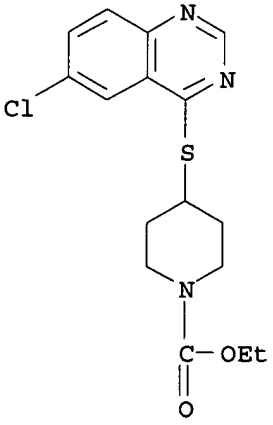
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 325146-04-1 CAPLUS
CN Piperidine, 1-acetyl-4-(4-quinazolinylthio)- (9CI) (CA INDEX NAME)



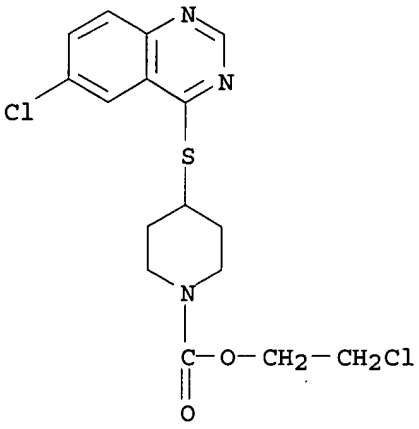
RN 325146-05-2 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, ethyl ester (9CI) (CA INDEX NAME)



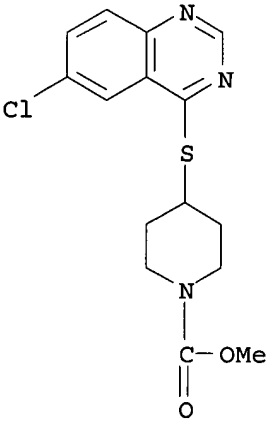
RN 325146-06-3 CAPLUS

09/ 806,836

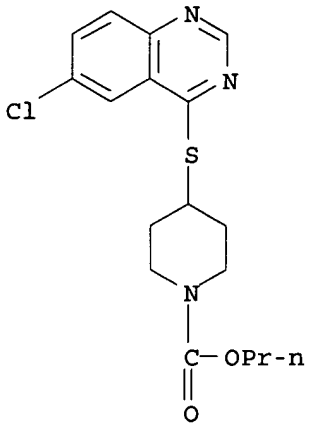
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, 2-chloroethyl ester (9CI) (CA INDEX NAME)



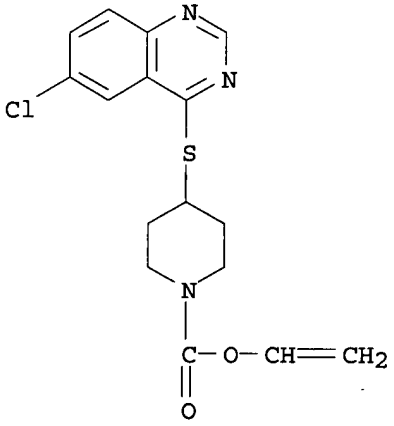
RN 325146-07-4 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, methyl ester (9CI) (CA INDEX NAME)



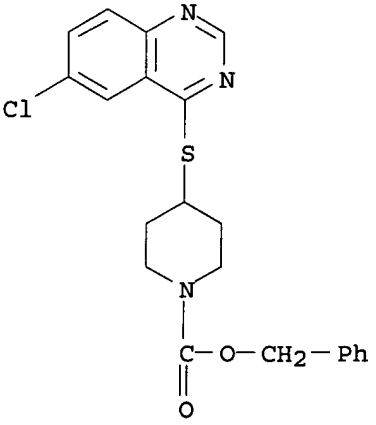
RN 325146-08-5 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, propyl ester (9CI) (CA INDEX NAME)



RN 325146-09-6 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, ethenyl ester (9CI) (CA INDEX NAME)



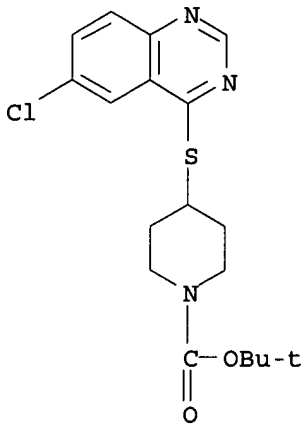
RN 325146-10-9 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 325146-11-0 CAPLUS

09/ 806,836

CN 1-Piperidinecarboxylic acid, 4-[(6-chloro-4-quinazolinyl)thio]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 14 THERE ARE 14 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:260277 CAPLUS
DOCUMENT NUMBER: 132:293771
TITLE: Preparation of quinazolines as VEGF receptor tyrosine kinase inhibitors
INVENTOR(S): Hennequin, Laurent Francois Andre; Pasquet, Georges
PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca-Pharma S.A.
SOURCE: PCT Int. Appl., 107 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

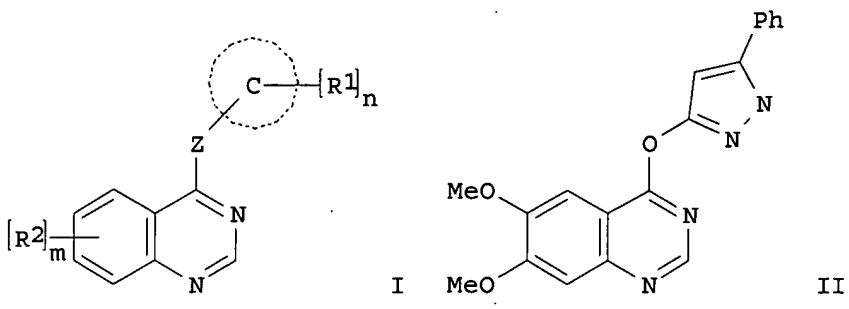
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000021955	A1	20000420	WO 1999-GB3295	19991005
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2344290	AA	20000420	CA 1999-2344290	19991005
AU 9961128	A1	20000501	AU 1999-61128	19991005
AU 756556	B2	20030116		
BR 9914326	A	20010626	BR 1999-14326	19991005
EP 1119567	A1	20010801	EP 1999-947758	19991005
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
JP 2002527436	T2	20020827	JP 2000-575861	19991005
ZA 2001002655	A	20020930	ZA 2001-2655	20010330
NO 2001001739	A	20010607	NO 2001-1739	20010406

PRIORITY APPLN. INFO.: EP 1998-402496 A 19981008
WO 1999-GB3295 W 19991005

OTHER SOURCE(S): MARPAT 132:293771

Applicants PCT

GI



I

II

AB The title compds. [I; ring C = 5-6 membered heterocyclic moiety; Z = O, NH, S, CH2; R1 = H, alkyl, alkoxymethyl, etc.; n = 0-5; m = 0-3; R2 = H, OH, halo, etc.] and their salts which inhibit the effects of VEGF , and therefore useful in the prodn. of an antiangiogenic and/or vascular permeability reducing effect in warm-blooded animals, were prepd. and formulated. E.g., a multi-step synthesis of quinazoline II was given. Compds. I are effective at 1-50 mg/kg/day.

IT **264207-46-7P 264207-48-9P 264207-50-3P**
264207-52-5P 264207-54-7P 264207-56-9P
264207-58-1P 264207-60-5P 264207-62-7P
264207-64-9P 264207-66-1P 264207-68-3P
264207-70-7P 264207-72-9P 264207-74-1P
264207-76-3P 264207-94-5P 264207-96-7P
264207-98-9P 264208-00-6P 264208-02-8P
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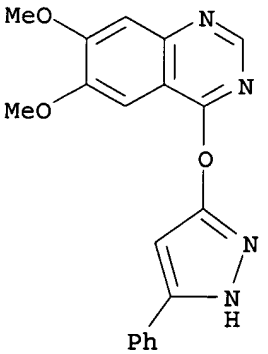
264207-23-3P 264208-26-6P 264208-28-8P
264208-31-3P 264208-33-5P 264208-35-7P
264208-38-0P 264208-41-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazolines as VEGF receptor tyrosine kinase inhibitors)

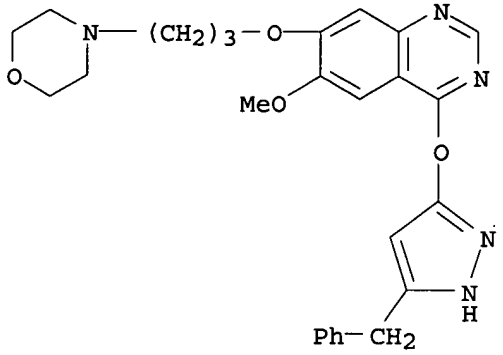
RN 264207-46-7 CAPLUS

CN Quinazoline, 6,7-dimethoxy-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)

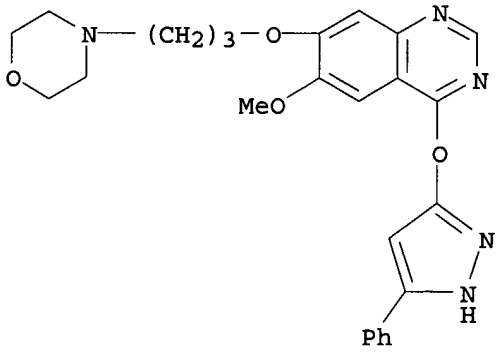


RN 264207-48-9 CAPLUS

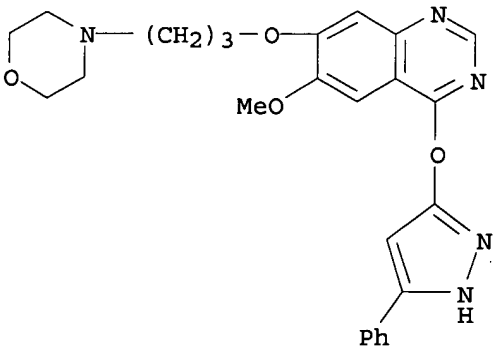
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(phenylmethyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



RN 264207-50-3 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)



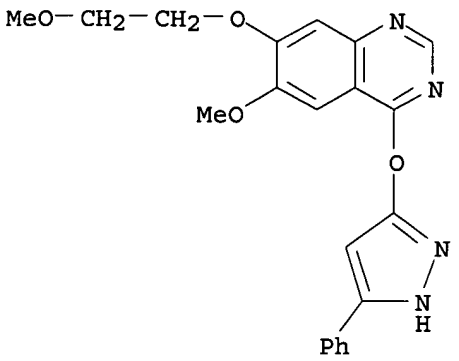
RN 264207-52-5 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]-, dihydrochloride (9CI) (CA INDEX NAME)



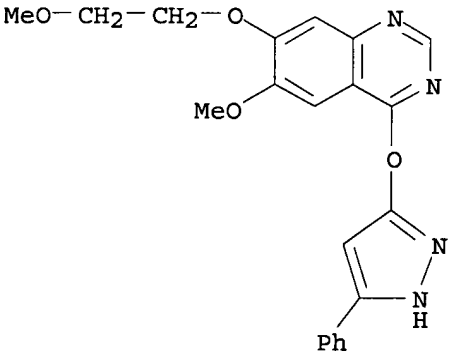
2 HCl

RN 264207-54-7 CAPLUS
CN Quinazoline, 6-methoxy-7-(2-methoxyethoxy)-4-[(5-phenyl-1H-pyrazol-3-

yl)oxy]- (9CI) (CA INDEX NAME)

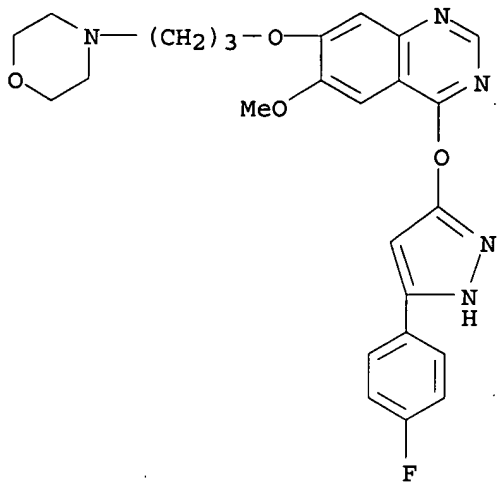


RN 264207-56-9 CAPLUS
CN Quinazoline, 6-methoxy-7-(2-methoxyethoxy)-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]-, hydrochloride (4:3) (9CI) (CA INDEX NAME)



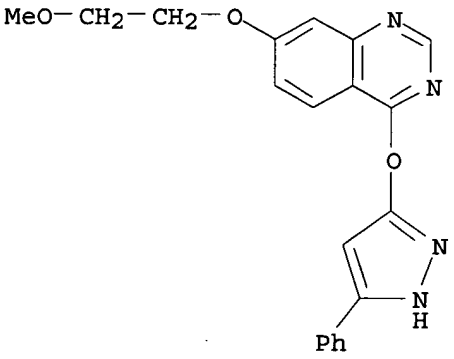
●3/4 HCl

RN 264207-58-1 CAPLUS
CN Quinazoline, 4-[[5-(4-fluorophenyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]-, hydrochloride (10:19) (9CI) (CA INDEX NAME)



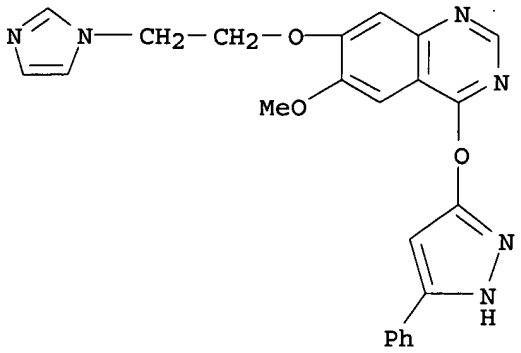
●19/10 HCl

RN 264207-60-5 CAPLUS
CN Quinazoline, 7-(2-methoxyethoxy)-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]-, hydrochloride (5:3) (9CI) (CA INDEX NAME)



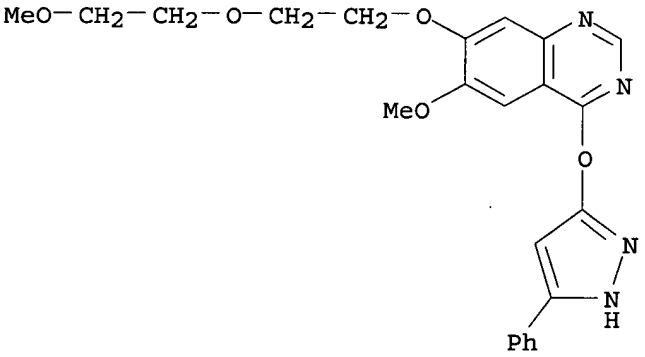
●3/5 HCl

RN 264207-62-7 CAPLUS
CN Quinazoline, 7-[2-(1H-imidazol-1-yl)ethoxy]-6-methoxy-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]-, hydrochloride (2:5) (9CI) (CA INDEX NAME)



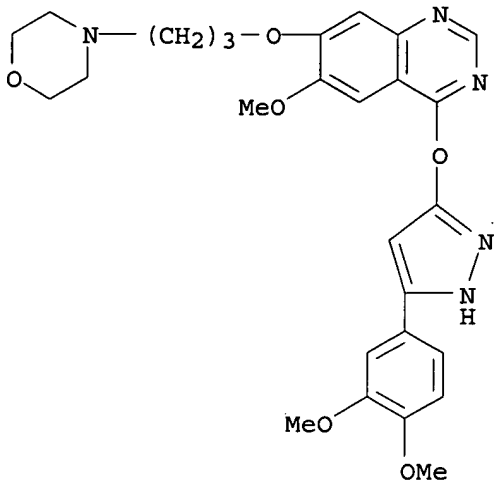
●5/2 HCl

RN 264207-64-9 CAPLUS
CN Quinazoline, 6-methoxy-7-[2-(2-methoxyethoxy)ethoxy]-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]-, hydrochloride (20:17) (9CI) (CA INDEX NAME)

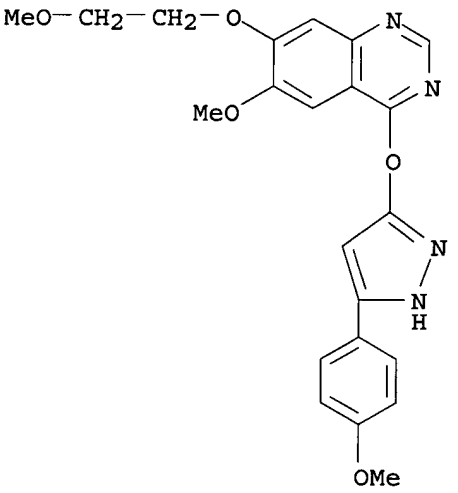


●17/20 HCl

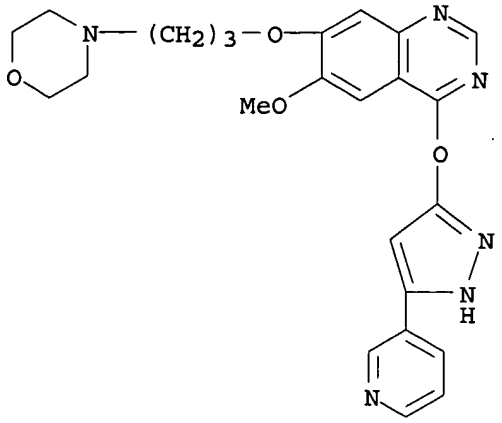
RN 264207-66-1 CAPLUS
CN Quinazoline, 4-[[5-(3,4-dimethoxyphenyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



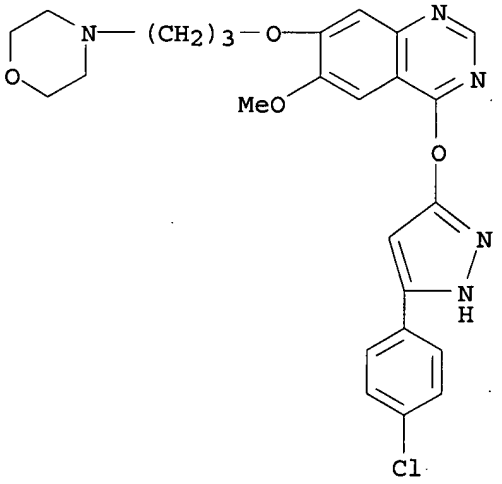
RN 264207-68-3 CAPLUS
CN Quinazoline, 6-methoxy-7-(2-methoxyethoxy)-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



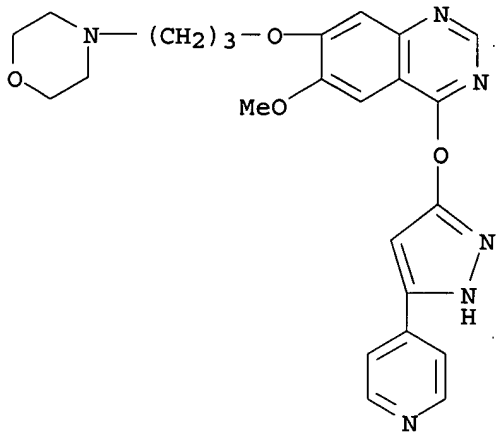
RN 264207-70-7 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(3-pyridinyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



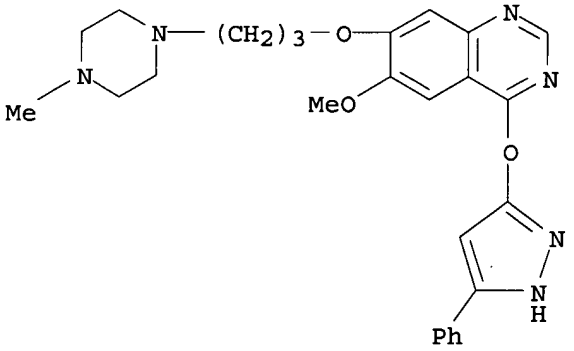
RN 264207-72-9 CAPLUS
CN Quinazoline, 4-[[5-(4-chlorophenyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



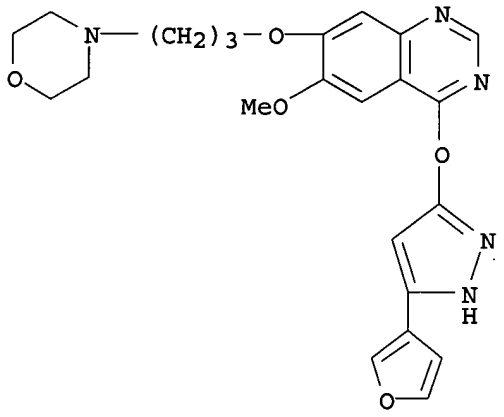
RN 264207-74-1 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(4-pyridinyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



RN 264207-76-3 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-methyl-1-piperazinyl)propoxy]-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)

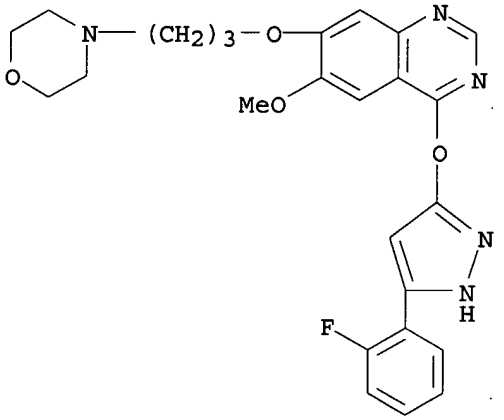


RN 264207-94-5 CAPLUS
CN Quinazoline, 4-[[5-(3-furanyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]-, hydrochloride (9CI) (CA INDEX NAME)

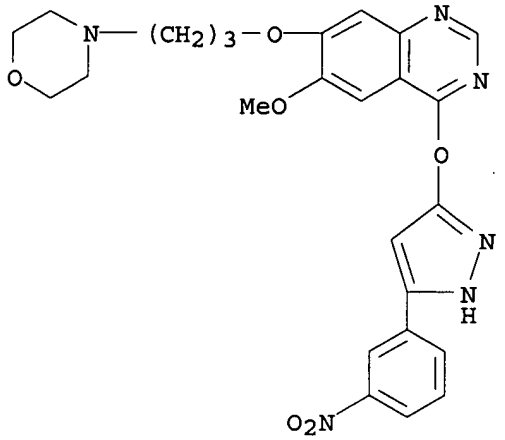


●x HCl

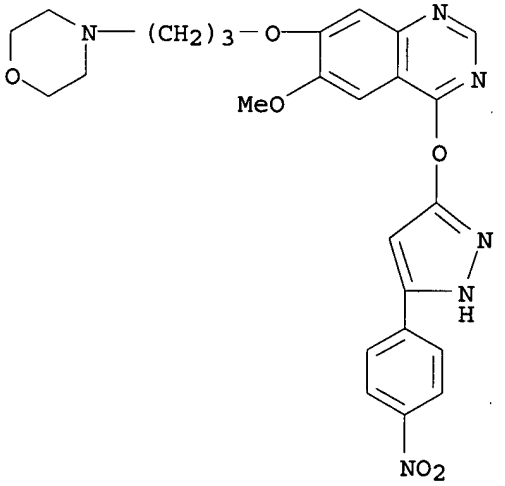
RN 264207-96-7 CAPLUS
CN Quinazoline, 4-[[5-(2-fluorophenyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



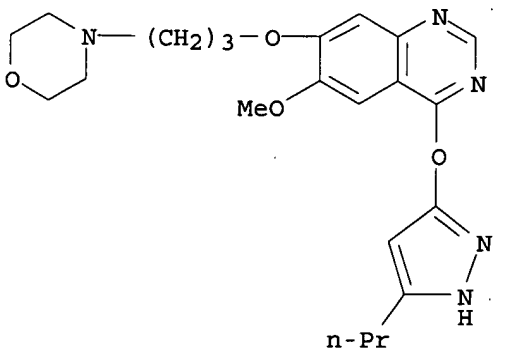
RN 264207-98-9 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(3-nitrophenyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



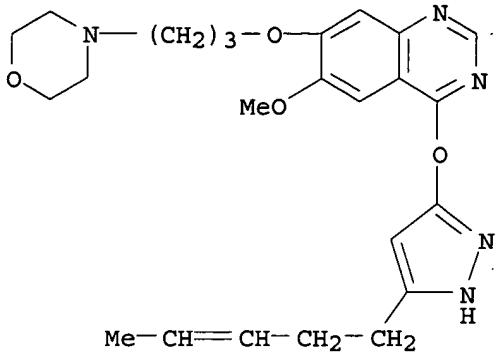
RN 264208-00-6 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(4-nitrophenyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



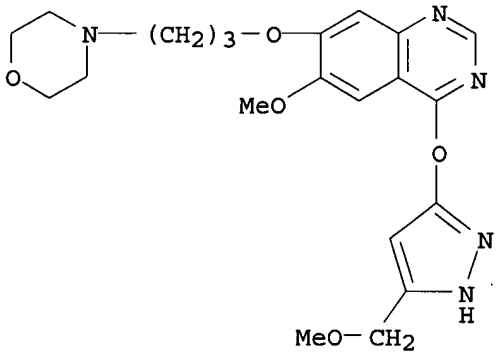
RN 264208-02-8 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[(5-propyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)



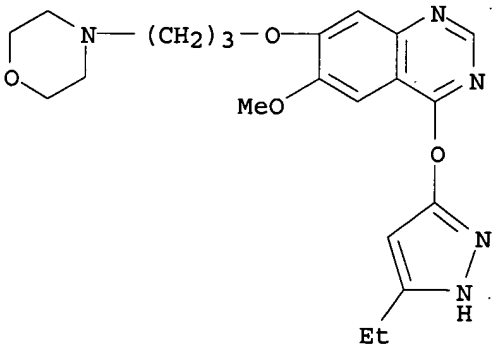
RN 264208-04-0 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(3-pentenyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



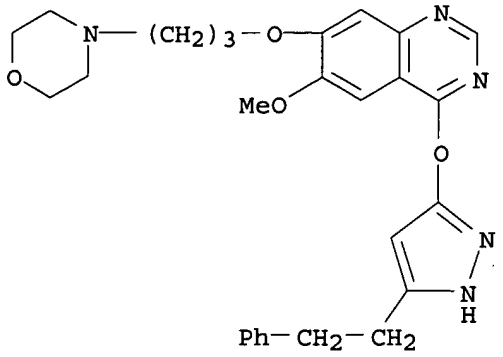
RN 264208-06-2 CAPLUS
CN Quinazoline, 6-methoxy-4-[[5-(methoxymethyl)-1H-pyrazol-3-yl]oxy]-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



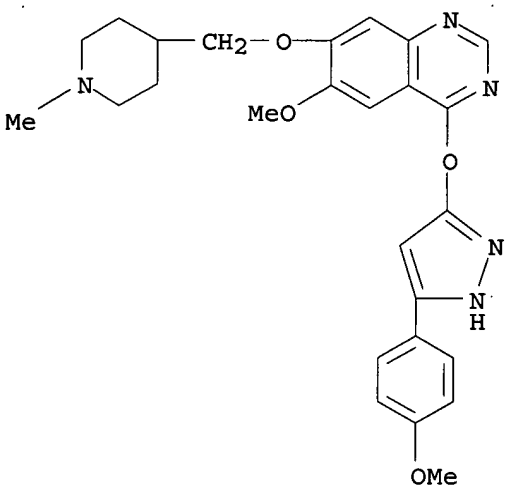
RN 264208-08-4 CAPLUS
CN Quinazoline, 4-[(5-ethyl-1H-pyrazol-3-yl)oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



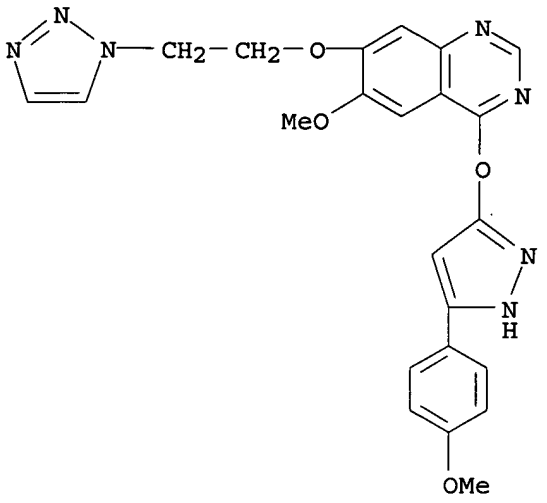
RN 264208-10-8 CAPLUS
CN Quinazoline, 6-methoxy-7-[3-(4-morpholinyl)propoxy]-4-[[5-(2-phenylethyl)-1H-pyrazol-3-yl]oxy]- (9CI) (CA INDEX NAME)



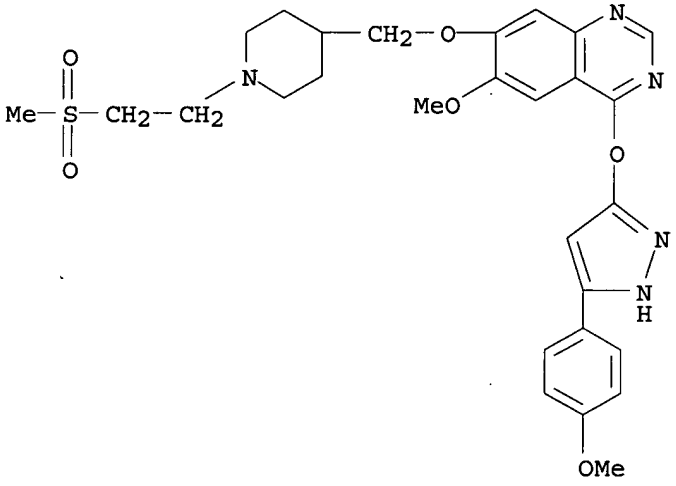
RN 264208-12-0 CAPLUS
CN Quinazoline, 6-methoxy-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]-7-[(1-methyl-4-piperidinyl)methoxy]- (9CI) (CA INDEX NAME)



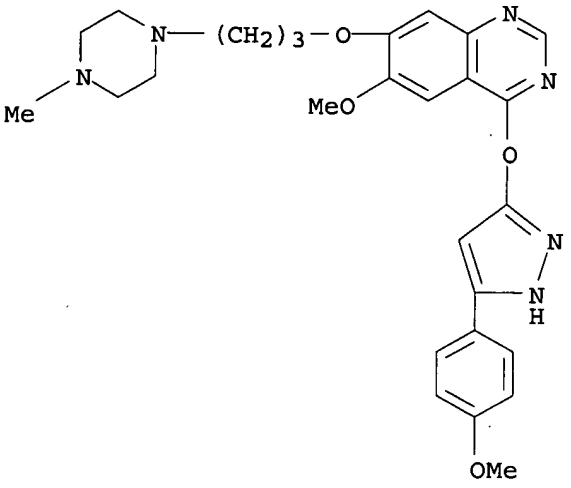
RN 264208-14-2 CAPLUS
CN Quinazoline, 6-methoxy-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]-7-[2-(1H-1,2,3-triazol-1-yl)ethoxy]- (9CI) (CA INDEX NAME)



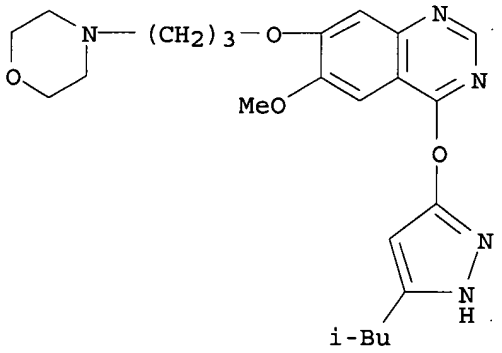
RN 264208-16-4 CAPLUS
CN Quinazoline, 6-methoxy-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]-7-[[1-[2-(methylsulfonyl)ethyl]-4-piperidinyl]methoxy]- (9CI) (CA INDEX NAME)



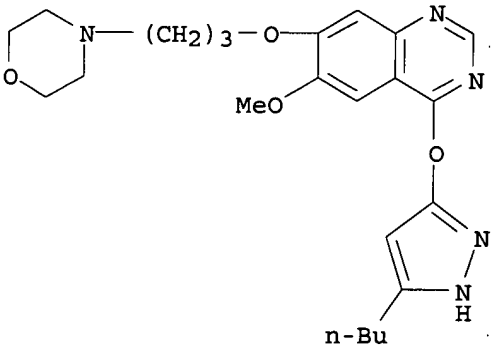
RN 264208-18-6 CAPLUS
CN Quinazoline, 6-methoxy-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]-7-[3-(4-methyl-1-piperazinyl)propoxy]- (9CI) (CA INDEX NAME)



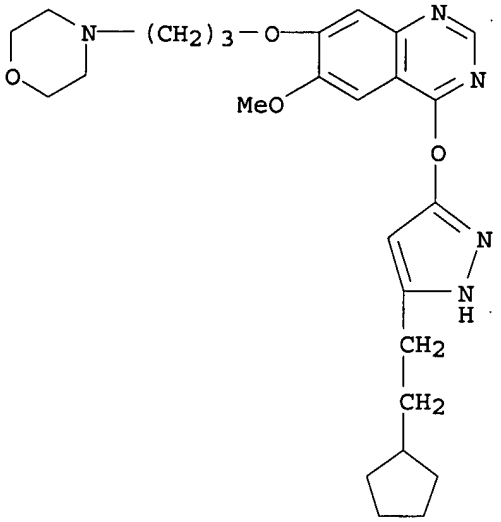
RN 264208-21-1 CAPLUS
CN Quinazoline, 6-methoxy-4-[[5-(2-methylpropyl)-1H-pyrazol-3-yl]oxy]-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



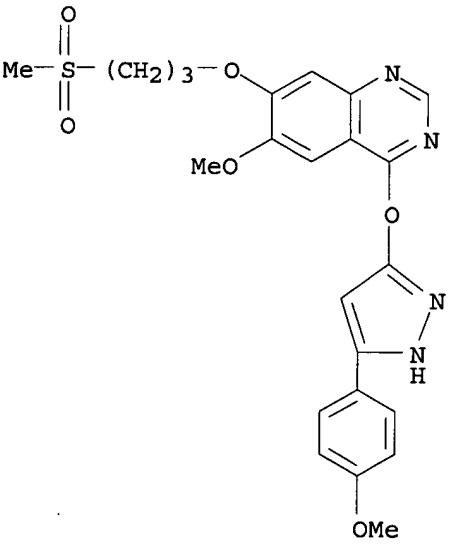
RN 264208-23-3 CAPLUS
CN Quinazoline, 4-[(5-butyl-1H-pyrazol-3-yl)oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



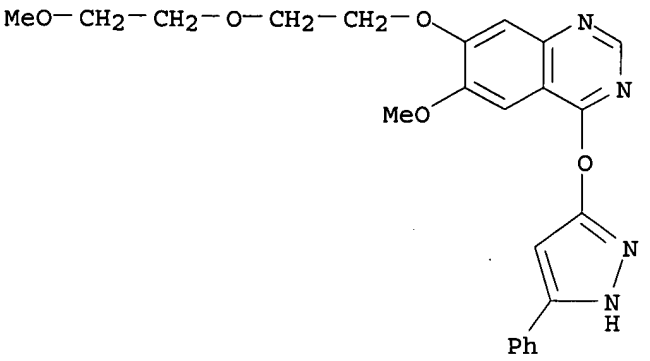
RN 264208-26-6 CAPLUS
CN Quinazoline, 4-[[5-(2-cyclopentylethyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



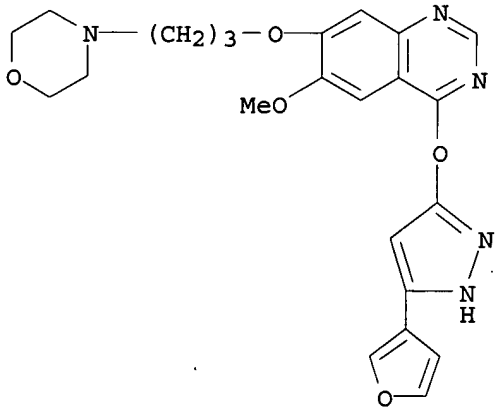
RN 264208-28-8 CAPLUS
CN Quinazoline, 6-methoxy-4-[[5-(4-methoxyphenyl)-1H-pyrazol-3-yl]oxy]-7-[3-(methylsulfonyl)propoxy]- (9CI) (CA INDEX NAME)



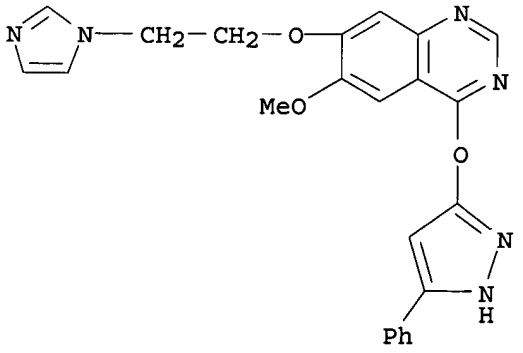
RN 264208-31-3 CAPLUS
CN Quinazoline, 6-methoxy-7-[2-(2-methoxyethoxy)ethoxy]-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)



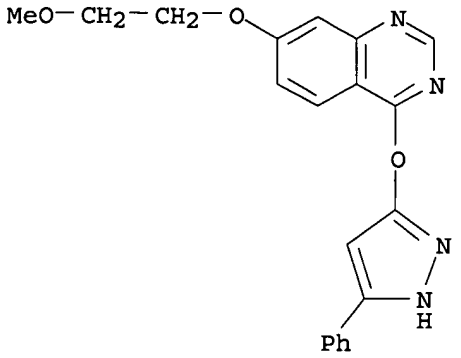
RN 264208-33-5 CAPLUS
CN Quinazoline, 4-[[5-(3-furanyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



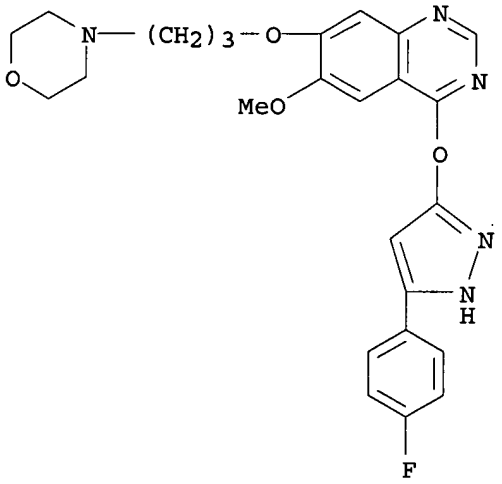
RN 264208-35-7 CAPLUS
CN Quinazoline, 7-[2-(1H-imidazol-1-yl)ethoxy]-6-methoxy-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)



RN 264208-38-0 CAPLUS
CN Quinazoline, 7-(2-methoxyethoxy)-4-[(5-phenyl-1H-pyrazol-3-yl)oxy]- (9CI) (CA INDEX NAME)



RN 264208-41-5 CAPLUS
CN Quinazoline, 4-[[5-(4-fluorophenyl)-1H-pyrazol-3-yl]oxy]-6-methoxy-7-[3-(4-morpholinyl)propoxy]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

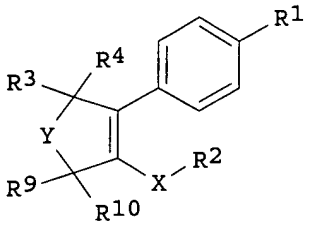
L3 ANSWER 4 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 2000:83114 CAPLUS
DOCUMENT NUMBER: 132:122509
TITLE: Preparation of (methylsulfonyl)phenyl-2-(5H)-furanones as COX-2 inhibitors
INVENTOR(S): Belley, Michel; Gauthier, Jacques Yves; Grimm, Erich; Leblanc, Yves; Li, Chun-sing; Therien, Michel; Black, Cameron; Prasit, Petpiboon; Lau, Cheuk-kun; Roy, Patrick
PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.
SOURCE: U.S., 88 pp., Cont.-in-part of U.S. Ser. No. 728,512, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6020343	A	20000201	US 1998-97543	19980615

NZ 332820	A	20000526	NZ 1996-332820		19961009
JP 2001199954	A2	20010724	JP 2000-366579		19961009
ZA 9608609	A	19970414	ZA 1996-8609		19961011
US 6169188	B1	20010102	US 1999-422151		19991021
PRIORITY APPLN. INFO.:			US 1995-5371P	P	19951013
			US 1996-11637P	P	19960214
			US 1996-728512	B2	19961009
			GB 1996-2939	A	19960213
			GB 1996-5645	A	19960318
			JP 1997-515371	A3	19961009
			NZ 1996-319090	A1	19961009
			US 1998-97543	A3	19980615

OTHER SOURCE(S): MARPAT 132:122509

GI



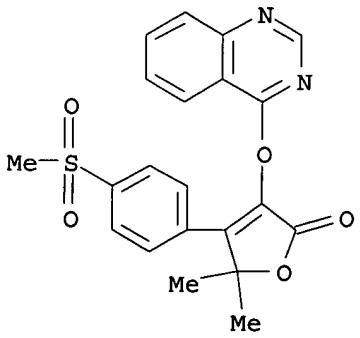
I

AB The title compds. [I; X = CH2, CHOH, CO, etc.; Y = O, S, CO, etc.; R1 = SO2Me, SO2NHCOCF3, SONHNH2, etc.; R2 = alkyl, (un)substituted Ph, naphthyl, etc.; R3 = H, alkyl, CN, etc.; R4 = H, alkyl, alkoxy, etc.; R9, R10 = H, alkyl; R9 and R10 together with the carbon atom to which they are attached form a carbonyl or thiocarbonyl group], useful in the treatment of cyclooxygenase-2 mediated diseases such as inflammation, arthritis, osteoporosis, rheumatoid arthritis, and pain, were prepd. E.g., a 4-step synthesis of I [X = O; Y = O; R1 = SO2Me; R2 = 3,4-F2C6H3; R3 = R4 = Me; R9 and R10 together with the carbon atom to which they are attached form a carbonyl group] which showed ED50 of 0.14 mg/kg in rat paw edema assay, was given.

IT **189955-00-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (methylsulfonyl)phenyl-2-(5H)-furanones as COX-2 inhibitors)

RN 189955-00-8 CAPLUS

CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinyloxy)- (9CI) (CA INDEX NAME)



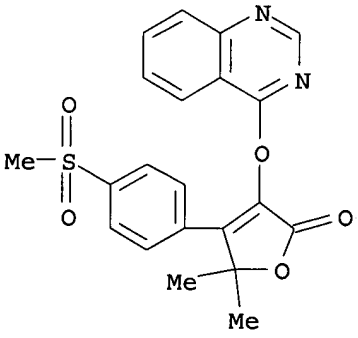
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:769077 CAPLUS
DOCUMENT NUMBER: 132:73232
TITLE: Synthesis and biological evaluation of 3-heteroaryloxy-4-phenyl-2(5H)-furanones as selective COX-2 inhibitors
AUTHOR(S): Lau, Cheuk K.; Brideau, Christine; Chan, Chi Chung; Charleson, Stella; Cromlish, Wanda A.; Ethier, Diane; Gauthier, Jacques Yves; Gordon, Robert; Guay, Jocelyne; Kargman, Stacia; Li, Chun-Sing; Prasit, Petpiboon; Riendeau, Denis; Therien, Michel; Visco, Denise M.; Xu, Lijing
CORPORATE SOURCE: Merck Frosst Centre for Therapeutic Research, Pointe Claire-Dorval, QC, H9R 4P8, Can.
SOURCE: Bioorganic & Medicinal Chemistry Letters (1999), 9(22), 3187-3192
CODEN: BMCLE8; ISSN: 0960-894X
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English

AB A series of 3-heteroaryloxy-4-phenyl-2-(5H)-furanones were prepd. and evaluated for their potency and selectivity as COX-2 inhibitors. This led to the identification of L-778,736 as a potent, orally active and selective inhibitor of the COX-2 enzyme.

IT **189955-00-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. and structure-anti-inflammatory activity of cyclooxygenase 2 inhibitors heteroaryloxyphenylfuranones)

RN 189955-00-8 CAPLUS
CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinyloxy)- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 12 THERE ARE 12 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 6 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:718982 CAPLUS
DOCUMENT NUMBER: 131:322532
TITLE: Preparation of 4-aryl-(5H)-furan-2-ones as cyclooxygenase-2 inhibitors.
INVENTOR(S): Belley, Michel; Gauthier, Jacques Yves; Grimm, Erich; Leblanc, Yves; Li, Chun-Sing; Therien, Michel; Black,

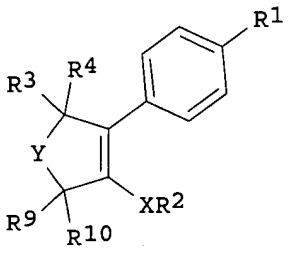
09/ 806,836

Cameron; Prasit, Petpiboon; Lau, Cheuk-Kun; Roy, Patrick
PATENT ASSIGNEE(S): Merck Frosst Canada, Inc., Can.
SOURCE: U.S., 74 pp., Cont.-in-part of U.S. Ser. No. 728,512, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5981576	A	19991109	US 1998-97537	19980615
NZ 332820	A	20000526	NZ 1996-332820	19961009
JP 2001199954	A2	20010724	JP 2000-366579	19961009
ZA 9608609	A	19970414	ZA 1996-8609	19961011

PRIORITY APPLN. INFO.:
US 1995-5371P P 19951013
US 1996-11637P P 19960214
US 1996-728512 B2 19961009
GB 1996-2939 A 19960213
GB 1996-5645 A 19960318
JP 1997-515371 A3 19961009
NZ 1996-319090 A1 19961009

OTHER SOURCE(S): MARPAT 131:322532
GI



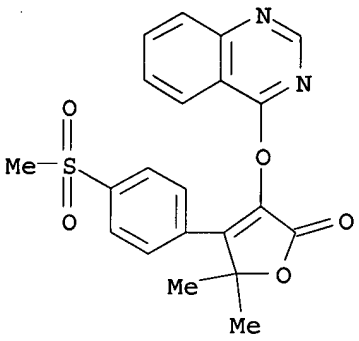
I

AB Title compds. [I; X = CH2, CH(OH), CO, O, S, NR15; Y = CO, O, S, CR11R12; R1 = SO2Me, SO2NR16R17, SO2NHCOCF3, etc.; R2 = alkyl, (substituted) Ph, naphthyl, heteroaryl, benzoheterocyclyl, heterocyclylalkyl, benzocarbocyclyl, etc.; R3 = H, alkyl, CH2OR7, cyano, CH2CN, (substituted) Ph, etc.; R4 = H, alkyl, alkoxy, alkylthio, OH, SH, OCOR7, etc.; R3R4 = atoms to form a 3-7 membered ring; R7 = H, alkyl, (substituted) Ph, PhCH2; R9, R10 = H, alkyl; R9R10 = O, S; R16, R17 = H, alkyl, alkanoic acid, alkyl amine, etc.; with provisos], were prepd. Thus, cyclopropanemethanol in THF was added to NaH in THF at 12.degree. over 75 min. followed by 18 h stirring at room temp.; ClCH2CO2Na was added followed by 8.5 h reflux to give an oil. This was refluxed with 2-bromo-2-methyl-1-[(4-methylsulfonyl)phenyl]propan-1-one (prepn. given) and ethyldiisopropylamine in EtOH to give cyclopropylmethoxyacetic acid 2-methyl-1-[(4-methylsulfonyl)phenyl]propan-1-one ester. The latter was refluxed with iso-Pr trifluoroacetate and DBU in MeCN to give 3-(cyclopropylmethoxy)-5,5-dimethyl-4-[(4-methylsulfonyl)phenyl]-5H-furan-2-one. I inhibited rat paw edema with ED50 = 0.32-10 mg/kg orally.

IT **189955-00-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 4-aryl-(5H)-furan-2-ones as cyclooxygenase-2 inhibitors)

RN 189955-00-8 CAPLUS

CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinyloxy)- (9CI) (CA INDEX NAME)



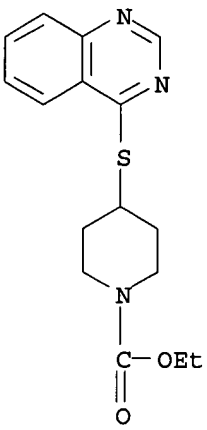
REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1999:410148 CAPLUS
DOCUMENT NUMBER: 131:111116
TITLE: Synthesis and analgesic activity of some condensed analogs of anpirtoline
AUTHOR(S): Radl, Stanislav; Kovarova, Lenka; Hezky, Petr; Vosatka, Vaclav; Konigova, Otylie; Proska, Jan; Krejci, Ivan
CORPORATE SOURCE: Research Institute Pharmacy Biochemistry, Prague, 13060, Czech Rep.
SOURCE: Archiv der Pharmazie (Weinheim, Germany) (1999), 332(6), 208-212
CODEN: ARPMAS; ISSN: 0365-6233
PUBLISHER: Wiley-VCH Verlag GmbH
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Condensed derivs. of anpirtoline, in which the pyridine ring is replaced with quinoline, isoquinoline, quinazoline, and phthalazine nuclei, were synthesized. Their receptor binding profiles (5HT1A, 5-HT1B) and analgesic activity (hot plate, AcOH-induced writhing) were studied. The analgesic activity of 4 of the compds. are at least comparable to that of the clin. used drugs flupirtine and tramadol under the same conditions.

IT **232618-27-8P 232618-32-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(prepn. and 5-HT1-agonistic and analgesic activity of condensed analogs of anpirtoline)

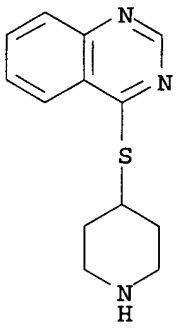
RN 232618-27-8 CAPLUS
CN 1-Piperidinecarboxylic acid, 4-(4-quinazolinylthio)-, ethyl ester (9CI) (CA INDEX NAME)



RN 232618-32-5 CAPLUS
CN Quinazoline, 4-(4-piperidinylthio)-, monoacetate (9CI) (CA INDEX NAME)

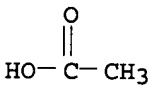
CM 1

CRN 232618-31-4
CMF C13 H15 N3 S

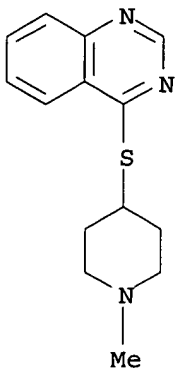


CM 2

CRN 64-19-7
CMF C2 H4 O2



IT **232618-36-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and 5-HT1-agonistic and analgesic activity of condensed analogs of anpirtoline)
RN 232618-36-9 CAPLUS
CN Quinazoline, 4-[(1-methyl-4-piperidinyl)thio]- (9CI) (CA INDEX NAME)



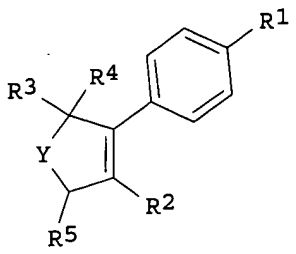
REFERENCE COUNT: 20 THERE ARE 20 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:425272 CAPLUS
DOCUMENT NUMBER: 127:34112
TITLE: Preparation of 3,4-diaryl-2-hydroxy-2,5-dihydrofurans as prodrugs to cyclooxygenase-2 (cox-2) inhibitors and as non-steroidal anti-inflammatory agents
INVENTOR(S): Black, Cameron; Leger, Serge; Prasit, Petpiboon; Wang, Zhaoyin; Hamel, Pierre; Han, Yongxin; Hughes, Gregory
PATENT ASSIGNEE(S): Merck Frosst Canada Inc., Can.; Black, Cameron; Leger, Serge; Prasit, Petpiboon; Wang, Zhaoyin; Hamel, Pierre; Han, Yongxin; Hughes, Gregory
SOURCE: PCT Int. Appl., 213 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9716435	A1	19970509	WO 1996-CA717	19961029
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
US 5698584	A	19971216	US 1996-738143	19961025
AU 9672736	A1	19970522	AU 1996-72736	19961029
AU 711902	B2	19991021		
JP 11500748	T2	19990119	JP 1996-516943	19961029
EP 904269	A1	19990331	EP 1996-934267	19961029
EP 904269	B1	20020123		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, LI, LU, NL, SE, PT, IE, FI				
AT 212343	E	20020215	AT 1996-934267	19961029
ES 2171723	T3	20020916	ES 1996-934267	19961029
JP 3337477	B2	20021021	JP 1997-516943	19961029
US 6057319	A	20000502	US 1998-68139	19981002

PRIORITY APPLN. INFO.: US 1995-8074P P 19951030
GB 1996-2877 A 19960213
WO 1996-CA717 W 19961029

OTHER SOURCE(S): MARPAT 127:34112
GI



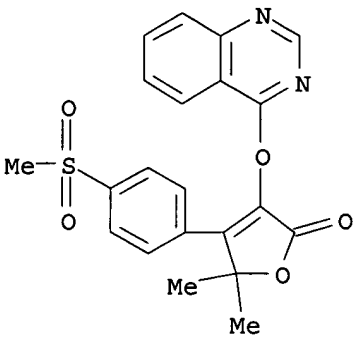
I

AB The invention encompasses the novel compd. of formula [I; Y = (un)substituted CH2, O, S, CO; R2 = SO2Me, (un)substituted SO2NH2, SO2NHCOCF3, SONHNH2, SONHNHCOCF3, P(O)MeNH2, P(O)Me2, C(S)NH2; R2 = NR10R11, SR11, OR11, R11, C1-10 alkenyl, C1-10 alkynyl, (un)substituted C3-10 cycloalkenyl; wherein R11 = C1-10 alkyl, C3-10 cycloalkyl, (un)substituted Ph, naphthyl, or heteroaryl, etc.; R3 = H, C1-10 alkyl, cyano, CH2CN, C1-6 fluoroalkyl, F, CH2OR8, CON(R8)2; R4 = H, C1-10 alkyl, C1-10 alkoxy, C1-10 alkylthio, OH, O2CR8, SH, SCOR8, OCO2R8, O CON(R8)2, SCON(R8)2, C3-10 cycloalkoxy or cycloalkylthio; or CR3R4 = 3- to 7-membered monocyclic ring optionally contg. 1 or 2 heteroatoms selected from O, S, or N; wherein R8 = H, C1-10 alkyl, C1-10 alkyl-CO2H, C1-10 aminoalkyl, (un)substituted Ph or CH2Ph, C3-10 cycloalkyl, C1-10 alkanoyl, (un)substituted benzoyl; R5 = OR17, SR18, NR17R18, S(O)R18, SO2 R18, SO2N(R17)2, OP(O)(OR16)2; wherein R16 = H, C1-6 alkyl, (un)substituted CH2Ph; R17 = H, R18; R18 = C1-10 alkyl, C1-10 alkyl-CO2H, C1-10 aminoalkyl, (un)substituted Ph or CH2Ph, C3-10 cycloalkyl, (CH2CH2O)nH (n = 1-6), C1-10 alkanoyl, (un)substituted benzoyl]. They are in vivo converted into the active lactone form, i.e. arylhydroxydihydrofuranone derivs. I (R5 = oxo; Y, R1 - R4 = same as above) with high inhibitory activity against cyclooxygenase-2 and/or a specificity for cyclooxygenase-2 over cyclooxygenase-1 and useful in the treatment of cyclooxygenase-2 mediated diseases, in particular inflammatory diseases. Thus, 3,4-difluorophenoxyacetic acid was cyclocondensed with 2-hydroxy-4'-(methylsulfonyl)isobutyrophenone (prepn. given) using 1-cyclohexyl-3-(2-morpholinoethyl)carbodiimide metho-p-toluenesulfonate and 4-dimethylaminopyridine in CH2Cl2 at room temp. for 18 h to give 3-(3,4-difluorophenoxy)-5,5-dimethyl-4-(4-methylsulfonylphenyl)-5H-furan-2-one, which was reduced by (Me2CHCH2)2AlH in THF at room temp. for 30 min to give I (Y = O, R2 = 3,4-difluorophenoxy, R3 = R4 = Me, R5 = OH). The latter compd. showed ED50 of 0.09 mg/kg p.o. for inhibiting the carrageenan-induced paw edema in rats.

IT **189955-00-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of diarylhydroxydihydrofurans as prodrugs for antiinflammatory diarylhydroxydihydrofuranones and selective cyclooxygenase-2 inhibitors)

RN 189955-00-8 CAPLUS

CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinyloxy)- (9CI) (CA INDEX NAME)



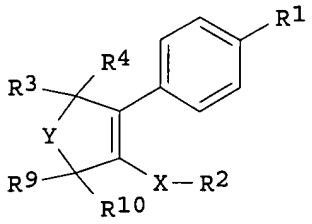
L3 ANSWER 9 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1997:384238 CAPLUS
DOCUMENT NUMBER: 127:5002
TITLE: (Methylsulfonyl)phenyl-2-(5H)-furanones as cox-2 inhibitors
INVENTOR(S): Belley, Michel; Gauthier, Jacques Y.; Grimm, Erich; Leblanc, Yves; Li, Chung-Sing; Therien, Michel; Black, Cameron; Lau, Cheuk-Kun; Prasit, Petpiboon; et al.
PATENT ASSIGNEE(S): Can.
SOURCE: PCT Int. Appl., 264 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9714691	A1	19970424	WO 1996-CA682	19961009
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, HU, IL, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2233178	AA	19970424	CA 1996-2233178	19961009
AU 9671236	A1	19970507	AU 1996-71236	19961009
AU 703871	B2	19990401		
EP 863891	A1	19980916	EP 1996-932417	19961009
EP 863891	B1	20021211		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, SI, LT, LV, FI				
CN 1200119	A	19981125	CN 1996-197609	19961009
JP 11500146	T2	19990106	JP 1996-515371	19961009
BR 9611015	A	19990914	BR 1996-11015	19961009
NZ 319090	A	20000128	NZ 1996-319090	19961009
NZ 332820	A	20000526	NZ 1996-332820	19961009
JP 2001199954	A2	20010724	JP 2000-366579	19961009
IL 123699	A1	20020310	IL 1996-123699	19961009
SK 282639	B6	20021008	SK 1998-450	19961009
JP 3337476	B2	20021021	JP 1997-515371	19961009
AT 229515	E	20021215	AT 1996-932417	19961009
EE 3969	B1	20030217	EE 1998-80	19961009
ES 2187675	T3	20030616	ES 1996-932417	19961009
ZA 9608609	A	19970414	ZA 1996-8609	19961011
TW 426679	B	20010321	TW 1996-85112463	19961012

NO 9801628	A	19980527	NO 1998-1628		19980408
BG 63391	B1	20011231	BG 1998-102425		19980504
PRIORITY APPLN. INFO.:			US 1995-5371P	P	19951013
			GB 1996-2939	A	19960213
			US 1996-11637P	P	19960214
			GB 1996-5645	A	19960318
			JP 1997-515371	A3	19961009
			NZ 1996-319090	A1	19961009
			WO 1996-CA682	W	19961009

OTHER SOURCE(S): MARPAT 127:5002

GI



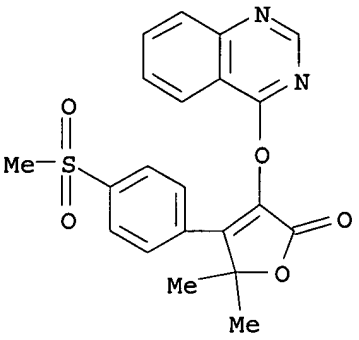
I

AB The title compds. [I; X = CH2, CHOH, CO, O, S, NR15 with the proviso that when R3 and R4 are other than both H, both C1-10 alkyl, or joined together with the carbon to which they are attached to form a satd. monocyclic carbon ring of 3, 4, 5, 6 or 7 atoms, then X is selected from CO, O, S, or NR15; Y = CR11R12, CO, O, S; R11, R12 = H, mono- or disubstituted Ph or mono- or disubstituted benzyl or mono- or disubstituted heteroaryl or mono- or disubstituted heteroarylmethyl wherein the substituents are H, halo, C1-6 alkyl, C1-6 alkoxy, C1-6 alkylthio, etc.; R1 = SO2-Me, SO2-NR16R17, SO2-NH-CO-CF3, SONH-NH2, etc.; R2 = H, halo, C1-10 alkyl, mono- or disubstituted Ph or naphthyl wherein the substituents are selected from the group consisting of H, halo, C1-10 alkoxy, C1-10 alkylthio, etc.; R3 = H, C1-10 alkyl, CH2-OR7, CN, CH2CN, C1-6 fluoroalkyl, F, etc.; R4 = H, C1-10 alkyl, C1-10 alkoxy, C1-10 alkylthio, OH, etc.; R9, R10 = H, C1-7 alkyl, or R9R10 together with the carbon atom they are attached form a carbonyl or thiocarbonyl group; R15 = H, C1-10 alkyl, mono-, di-, or trisubstituted Ph or naphthyl, etc.; R16, R17 = H, C1-10 alkyl, alkanoic acid, alkyl amine, etc.] are prepd. Thus, 2-methyl-1-[4-(methylthio)phenyl]-1-propanone (prepd. from isobutyryl chloride and thioanisole) was treated with Aliquat 336 to give the 2-hydroxy deriv., which was oxidized to the sulfonyl compd. with Oxone, which was reacted with 3,4-difluorophenoxyacetic acid to give I [R1 = SO2-Me, R2 = 3,4-difluorophenyl, R3 = R4 = Me, R9R10 = O, X = Y = O]. In a red paw edema assay (using rats) for its antiinflammatory potency, this had ED50 of 0.14 mg/Kg. The invention also describes pharmaceutical compns. comprising I for treatment of cyclooxygenase-2 mediated diseases.

IT **189955-00-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
((methylsulfonyl)phenyl(5H)-furanones as cox-2 inhibitors)

RN 189955-00-8 CAPLUS

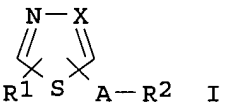
CN 2(5H)-Furanone, 5,5-dimethyl-4-[4-(methylsulfonyl)phenyl]-3-(4-quinazolinyloxy)- (9CI) (CA INDEX NAME)



L3 ANSWER 10 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1996:708170 CAPLUS
DOCUMENT NUMBER: 125:328719
TITLE: Preparation of thiazoles and thiadiazoles for treatment of thrombocytopenia
INVENTOR(S): Matsuo, Masaaki; Ogino, Takashi; Tsuji, Kiyoshi
PATENT ASSIGNEE(S): Fujisawa Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 72 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9630370	A2	19961003	WO 1996-JP773	19960326
WO 9630370	A3	19961128		
W: AU, CA, CN, HU, JP, KR, NO, US, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
ZA 9602398	A	19961001	ZA 1996-2398	19960326
AU 9650153	A1	19961016	AU 1996-50153	19960326

PRIORITY APPLN. INFO.: GB 1995-6189 19950327
GB 1995-11226 19950602
WO 1996-JP773 19960326
OTHER SOURCE(S): MARPAT 125:328719
GI



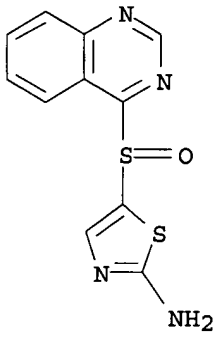
I

AB The title compds. [I; R1 = H, halo, NH2, etc.; R2 = N- or S-contg. unsatd. heterocyclic group; X = CH, N; A = S(O)m (wherein m = 0-2)], useful for prophylactic or therapeutic treatment of thrombocytopenia, rheumatism, nephritis, tumor or side effects of antitumor agents, were prepd. Thus, reaction of 2-amino-5-chlorothiazole.HCl with 2-quinolinethiol in the presence of NaHCO3 in DMF at 110.degree. afforded I [R1 = 2-NH2; AR2 = 5-(2-quinolylthio)-; X = CH] which showed 74% increase in platelet no. at 100 mg/kg in male ddY mice.

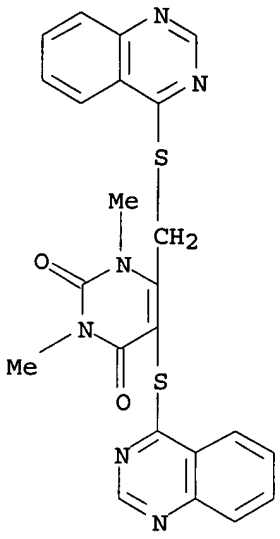
IT **183548-92-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

09/ 806,836

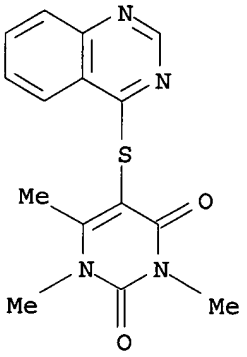
BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thiazoles and thiadiazoles for treatment of thrombocytopenia)
RN 183548-92-7 CAPLUS
CN 2-Thiazolamine, 5-(4-quinazolinylsulfinyl)- (9CI) (CA INDEX NAME)



L3 ANSWER 11 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1995:731257 CAPLUS
DOCUMENT NUMBER: 123:339501
TITLE: Reactions of diazines with nucleophiles. IV. The reactivity of 5-bromo-1,3,6-trimethyluracil with thiolate ions - substitution versus X-philic versus single electron transfer reactions
AUTHOR(S): Kumar, Subodh; Chimni, Swapandeep Singh; Cannoo, Deepika; Arora, Jasbir Singh
CORPORATE SOURCE: Department Chemistry, Guru Nanak Dev University, Amritsar, 143 005, India
SOURCE: Bioorganic & Medicinal Chemistry (1995), 3(7), 891-7
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Reaction of 5-bromo-1,3,6-trimethyluracil with alkylthiolate (propane-1-, toluene-.alpha.-, allyl-, etc.) ions under phase transfer catalytic conditions follows nucleophilic substitution and X-philic (Br and S) elimination to give 5-alkylthio-1,3,6-trimethyluracils, 6-alkylthiomethyl-1,3-dimethyluracils and 1,3,6 -trimethyluracil. Reaction of 5-bromo-1,3,6-trimethyluracil with heteroarylthiolate ions (pyridine-2-, quinazoline-4-, uracil-2- and 4,6-dimethylpyrimidine-2-thiolate) gives only nucleophilic substitution products. However, arylthiolate (phenyl-, 4-chlorophenyl-, 2-aminophenyl-) ions follow a single electron transfer (SET) mechanism to give 5-arylthio-6-arylthiomethyl-1,3-dimethyluracils along with normal substitution products. 1,3,6-Trimethyluracil does not react with alkyl- or heteroaryl-thiolate ions but reacts with arylthiolate ions (SET) providing mainly 5-arylthio-1,3,6-trimethyluracils.
IT **170504-08-2P 170504-11-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(reactions of 5-bromo-1,3,6-trimethyluracil with thiolate ions)
RN 170504-08-2 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1,3-dimethyl-5-(4-quinazolinylthio)-6-[(4-quinazolinylthio)methyl]- (9CI) (CA INDEX NAME)



RN 170504-11-7 CAPLUS
CN 2,4(1H,3H)-Pyrimidinedione, 1,3,6-trimethyl-5-(4-quinazolinylthio)- (9CI) (CA INDEX NAME)



L3 ANSWER 12 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1988:70632 CAPLUS
DOCUMENT NUMBER: 108:70632
TITLE: Use of heterocyclic nitrogen-containing compounds for reducing moisture loss from plants and increasing crop yield
INVENTOR(S): Manning, David Treadway; Cappy, James Joseph; Cooke, Anson Richard; Sheads, Richard Eric; Wu, Tai Teh; Lopes, Anihal; Phillips, Jennifer Lyn; Outcalt, Russell James
PATENT ASSIGNEE(S): Union Carbide Agricultural Products Co., Inc., USA
SOURCE: PCT Int. Appl., 789 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

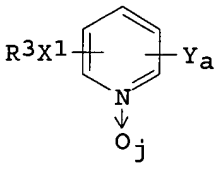
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 8704321	A2	19870730	WO 1987-US240	19870123
WO 8704321	A3	19871105		
W: AU, BR, DK, FI, HU, JP, KR, LK, MW, NO, RO, SD, SU				
RW: AT, BE, CH, DE, FR, GB, IT, LU, NL, SE				
DD 254318	A5	19880224	DD 1987-299404	19870122
ZA 8700480	A	19880928	ZA 1987-480	19870122
ES 2004071	A6	19881201	ES 1987-158	19870122
AU 8770316	A1	19870814	AU 1987-70316	19870123
EP 258391	A1	19880309	EP 1987-901826	19870123
R: AT, BE, CH, DE, FR, GB, IT, LI, LU, NL, SE				
BR 8705356	A	19880405	BR 1987-5356	19870123
JP 63502511	T2	19880922	JP 1987-501343	19870123
HU 45848	A2	19880928	HU 1987-1236	19870123
FI 8704111	A	19870921	FI 1987-4111	19870921
DK 8704961	A	19870922	DK 1987-4961	19870922

PRIORITY APPLN. INFO.:

US 1986-824389	19860123
US 1986-939416	19861215
WO 1987-US240	19870123

GI



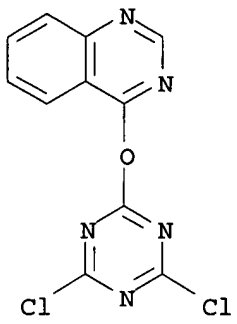
I

AB The title compds. R1XR2 [R1 = (un)substituted carbocyclic (arom. or nonarom.) or heterocyclic ring; X = covalent single or double bond, (un)substituted heteroatom or substituted C, etc.; R2 = (un)substituted heterocyclic ring] are plant antitranspirants. The pyridines I [R3 = (un)substituted Ph, 1- or 2-naphthyl or heteroaryl; X1 = O, S, SO2, NH, CH2O, CH2S, etc.; Y = halo, alkyl, CN, polyhaloalkyl, alkoxy, etc.; a = 2-4, j = 0, 1] are novel compds. A soln. of 12.4 g 4-methylthiophenol and 10.7 g 2,6-lutidine in 50 mL acetone was treated with 18.4 g cyanuric chloride in 200 mL acetone, to give 1.16 g 2,4-dichloro-6-(4-methylphenylthio)-1,3,5-triazine (II). II (1840 ppm) very markedly decreased transpiration rate and increased leaf diffusion resistance, in potted bean (Phaseolus vulgaris). In isolated pea chloroplasts, 2,4-dichloro-6-(2,6-dichlorophenoxy)-1,3,5-triazine (622 g/L) had no effect on photosynthetic electron transport, as shown by absence of O uptake inhibition. This was contrasted to 65% O uptake inhibition caused by the std. atrazine (108 g/L).

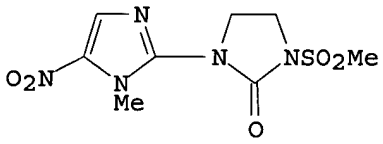
IT **112720-19-1P**
RL: AGR (Agricultural use); BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as plant antitranspirant)

RN 112720-19-1 CAPLUS

CN Quinazoline, 4-[(4,6-dichloro-1,3,5-triazin-2-yl)oxy]- (9CI) (CA INDEX NAME)



L3 ANSWER 13 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1984:603875 CAPLUS
DOCUMENT NUMBER: 101:203875
TITLE: Nitroimidazoles: part XIX - structure-activity relationships
AUTHOR(S): Nagarajan, K.; Arya, V. P.; George, T.; Nair, M. D.; Sudarsanam, V.; Ray, D. K.; Shrivastava, V. B.
CORPORATE SOURCE: Res. Cent., CIBA-GEIGY, Bombay, 400 063, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1984), 23B(4), 342-62
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
GI

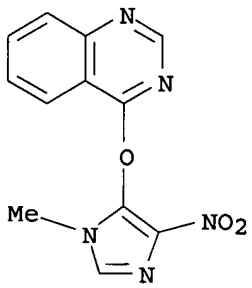


I

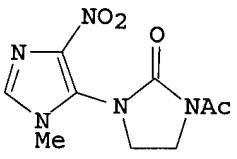
AB A variety of nitroimidazoles, mostly 1,2-disubstituted-5-nitro derivs. were examd. for in vitro activity against Entamoeba histolytica and for effectiveness in treating early hepatic infection in golden hamsters. Many compds. carried a functionalized N atom at position 2. In vivo activity was obsd. with 1-alkyl-5-nitroimidazoles carrying a substituted imidazolidinone or imidazole. Among these derivs., 1-methylsulfonyl-3-(1-methyl-5-nitro-2-imidazolyl)-2-imidazolidinone (I) [56302-13-7] was the most potent against hepatic and caecal infections of E. histolytica in the golden hamster and Trichomonas foetus infections in mice. It was developed as a drug for treatment of amoebiasis, giardiasis, and trichomoniasis. The structure-antiamebic activity relationships of the nitroimidazoles are discussed.

IT **86231-03-0**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(amebicidal activity of, structure in relation to)

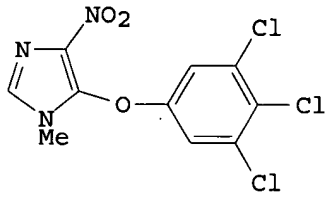
RN 86231-03-0 CAPLUS
CN Quinazoline, 4-[(1-methyl-4-nitro-1H-imidazol-5-yl)oxy]- (9CI) (CA INDEX NAME)



L3 ANSWER 14 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1983:422379 CAPLUS
DOCUMENT NUMBER: 99:22379
TITLE: Nitroimidazoles. Part XVI. Some 1-methyl-4-nitro-5-substituted imidazoles
AUTHOR(S): Arya, V. P.; Nagarajan, K.; Shenoy, S. J.
CORPORATE SOURCE: Ciba-Geigy Res. Cent., Bombay, 400 063, India
SOURCE: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry (1982), 21B(12), 1115-17
CODEN: IJSBDB; ISSN: 0376-4699
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 99:22379
GI



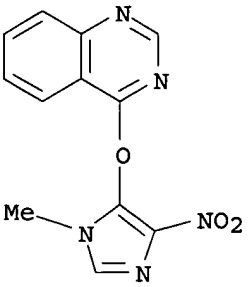
II



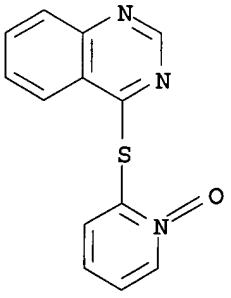
III

AB Treatment of 1-methyl-4-nitro-5-chloroimidazole I with 5-membered lactams, e.g. imidazolidinones, oxazolidinone, and thiazolidinone, and imidazole affords N-imidazolyl derivs., e.g. II. Amino derivs. are similarly obtained. 2-Hydroxypyrazine, 4-hydroxyquinazoline, and 3,4,5-trichlorophenol and I react to form O-derivs., e.g. III, while mercaptans provide the sulfides.

IT **86231-03-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 86231-03-0 CAPLUS
CN Quinazoline, 4-[(1-methyl-4-nitro-1H-imidazol-5-yl)oxy]- (9CI) (CA INDEX NAME)



L3 ANSWER 15 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1982:582339 CAPLUS
DOCUMENT NUMBER: 97:182339
TITLE: Quinazolines, their preparation and biological activity
AUTHOR(S): Schoenowsky, Hubert; Sachse, Burkhardt
CORPORATE SOURCE: Pflanzenschutzforsch.-Chem., Hoechst A.-G., Frankfurt/Main, D-6230/80, Fed. Rep. Ger.
SOURCE: Zeitschrift fuer Naturforschung, Teil B: Anorganische Chemie, Organische Chemie (1982), 37B(7), 907-11
CODEN: ZNBAD2; ISSN: 0340-5087
DOCUMENT TYPE: Journal
LANGUAGE: German
AB 4-Hydroxyquinazolines (I) were prepd. by cyclocondensation of 2-aminobenzoic acids with formamide and were alkylated and arylated to give alkoxy- and (aryloxy)quinazolines. 4-Chloroquinazolines were prepd. by treatment of I with PCl5/POCl3 and were converted into thio and amino compds. by reaction with mercaptans and amines, resp. A no. of the quinazolines showed fungicidal activity.
IT **83529-97-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 83529-97-9 CAPLUS
CN Quinazoline, 4-[(1-oxido-2-pyridinyl)thio]- (9CI) (CA INDEX NAME)



L3 ANSWER 16 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1973:4286 CAPLUS
DOCUMENT NUMBER: 78:4286
TITLE: 5-Nitro-2-thiazolyl sulfides
INVENTOR(S): Hughes, Peter Graham; Verge, John Pomfret
PATENT ASSIGNEE(S): Lilly Industries Ltd.
SOURCE: Ger. Offen., 40 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent

09/ 806,836

LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 2213558	A	19721005	DE 1972-2213558	19720321
GB 1354296	A	19740522	GB 1971-8252	19710330
US 3870725	A	19750311	US 1972-234376	19720313
CH 545812	A	19740215	CH 1972-4021	19720316
IT 965768	A	19740211	IT 1972-49259	19720327
FR 2132133	A5	19721117	FR 1972-10848	19720328
FR 2132133	B1	19750620		

PRIORITY APPLN. INFO.: GB 1971-8252 19710330
GB 1971-39106 19710820

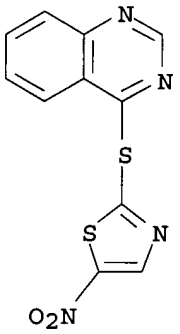
GI For diagram(s), see printed CA Issue.

AB Forty-five title compds. (I, R = substituted 1.3,4-thiadiazol-k-yl, 5-thioxo-1.3,4-chiadiazol-2-yl, 1.3,4-oxadiazol-k-yl, 1.2,4-triazol-1(or 5)-yl, 1.2,3,4-tetrazol-5-yl, 1.2,4-triazin-1-yl, 4-quinazolinyl, 2-pyrimidinyl, 2(or 4)-pyridyl, or 2-quinolyl), useful as fungicides, were prepd. by reaction of the bromo deriv. II with RSX (X = H, K, Na).

IT **40045-66-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 40045-66-7 CAPLUS

CN Quinazoline, 4-[(5-nitro-2-thiazolyl)thio]- (9CI) (CA INDEX NAME)



L3 ANSWER 17 OF 17 CAPLUS COPYRIGHT 2003 ACS on STN
ACCESSION NUMBER: 1969:413319 CAPLUS
DOCUMENT NUMBER: 71:13319
TITLE: Glycosides and heterocycles. XXXV. Glycosides of hydroxy- and mercaptoquinazolines
AUTHOR(S): Wagner, Guenther; Suess, F.
CORPORATE SOURCE: Pharm, Inst., Karl-Marx-Univ., Leipzig, Fed. Rep. Ger.
SOURCE: Pharmazie (1969), 24(1), 35-8
CODEN: PHARAT; ISSN: 0031-7144
DOCUMENT TYPE: Journal
LANGUAGE: German

GI For diagram(s), see printed CA Issue.

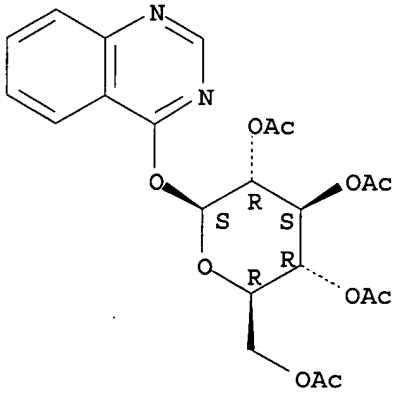
AB 4-Hydroxyquinazoline (I) Ag salt (7.59 g.) was mixed with 300 ml. C6H6, 250 ml. solvent was distd., a soln. of 4.11 g. tetra-O-acetyl-.alpha.-D-glucopyranosyl bromide (II) added, the mixt. refluxed 2 hrs. and filtered, the filtrate evapd., and the residue purified by thin-layer chromatog. on SiO2 in the solvent system 3:2 AcOEt-cyclohexane to yield 40% 4-(tetra-O-acetyl-.beta.-D-glucopyranosyloxy)quinazoline (III) (Q = tetra-O-acetyl-.beta.-D-glucopyranosyl throughout this abstr.), m. 150-2.degree. (MeOH), [.alpha.]20D -22.5.degree. (c 2.5, CHCl3). I Hg

salt (1.62 g.) and 2.71 g. II refluxed for 2 hrs. in 100 ml. MePh and filtered, the filtrate washed with Na2S2O3 and 5% NaOH and evapd. gave, after addn. of MeOH, 50% 3-(tetra-O-acetyl-.beta.-D-glucopyranoysl)-4-quinazolinone (IVa), m. 192-4.degree. (70% MeOH), [.alpha.]20D 0.degree. (CHCl3). III (0.52 g.) and 2.02 g. HgBr2 refluxed 2 hrs. in 50 ml. anhyd. PhMe afforded 80% IVa. IVa deacetylated by heating in 0.05M MeONa gave 70% 3-.beta.-D-glucopyranosyl-4-quinazolinone (IVb) (G = .beta.-D-glucopyranosyl throughout this abstr.), m. 257.5-8.5.degree. (PrOH), [.alpha.]20D 37.3.degree. (c 2.3, HCONMe2). A soln. of 1.82 g. 2,3,4,6-tetra-O-acetyl-1-thio-.beta.-D-glucopyranose and 0.82 g. 4-chloroquinazoline in 16 ml. Me2CO was treated with 0.28 g. KOH in 4 ml. H2O, agitated 25 min., and dild. with 100 ml. H2O to yield 84% 4-(tetra-O-acetyl-.beta.-D-glucopyranosylthio)quinazoline (Va), m. 95-6.degree. (MeOH), [.alpha.]20D 12.degree. (c 3, CHCl3). 2-Chloroquinazoline gave similarly 40% 2-(tetra-O-acetyl-.beta.-D-glucopyranosylthio)quinazoline (VIa), m. 143-5.degree. (30% MeOH), [.alpha.]20D 13.degree. (c 3, CHCl3). A mixt. of 0.5 g. IVa and 1.2 g. P4S10 in 5 ml. anhyd. C5H5N heated 5 hrs. at 130.degree. and 10 hrs. at 160.degree., cooled, extd. repeatedly with CHCl3, the combined exts. washed with 5% NaOH, evapd., and the residue treated with MeOH, gave 70% 3-(tetra-O-acetyl-.beta.-D-glucopyranosyl)-4-quinazolinethione (VII), m. 174.5-5.5.degree. (50% MeOH), [.alpha.]20D 7.degree. (c 2.2, CHCl3). The reaction of 4-quinazolinethiol and II in aq. Me2CO in the presence of NaOH yielded 56% Va and 8% VII. Deacetylation of Va with MeOH gave 85% 3-.beta.-D-glucopyranosyl-4-quinazolinethione (Vb), m. 218-20.degree. (PrOH), [.alpha.]20D -19.degree. (c 3.4, HCONMe2). The reaction of 2-hydroxyquinazoline and II in aq. Me2CO in the presence of NaOH followed by preparative thin-layer chromatog. on SiO2 in 3:2 C6H6-EtOAc gave 5% 2-(tetra-O-acetyl-.beta.-D-glucopyranosyloxy)quinazoline, m. 119-21.degree. (35% MeOH), [.alpha.]20D 8.degree. (c 2.5, CHCl3). 2-Quinazolinethiol reacted with II in aq. Me2CO afforded 38% VIa. Deacetylation of VIa with MeONa gave 60% 2-(.beta.-D-glucopyranosylthio)quinazoline (VIb), m. 113-15.degree. (PrOH), [.alpha.]20D. -96.4.degree. (c 2, HCONMe2). Uv spectrum of IVa was very similar to that of 3-methyl-4-quinazoline and differed from the spectrum of 1-methyl-4-quinazoline. This confirmed the structure of IVa.

IT **24558-70-1P 24577-13-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 24558-70-1 CAPLUS
CN Quinazoline, 4-(.beta.-D-glucopyranosyloxy)-, 2',3',4',6'-tetraacetate (8CI) (CA INDEX NAME)

Absolute stereochemistry.

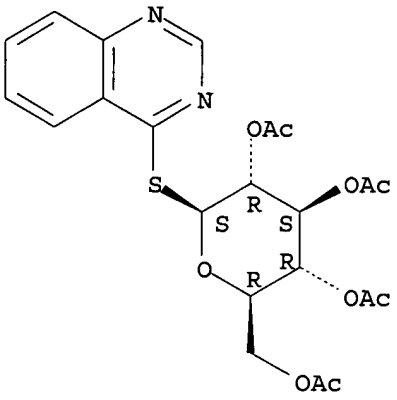


RN 24577-13-7 CAPLUS
CN Quinazoline, 4-(.beta.-D-glucopyranosylthio)-, 2',3',4',6'-tetraacetate

09/ 806,836

(8CI) (CA INDEX NAME)

Absolute stereochemistry.



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